

Patent Claims

- 5 1. A process for the preparation of lyophilisates having an improved dissolution rate, characterised in that the corresponding solutions already drawn off for lyophilisation which have, if necessary, previously been warmed in order to accelerate dissolution of the substance, filtered – optionally sterile-filtered – and drawn off, are re-warmed to from 30° to 90°C directly in the vials in the freeze drier, and the freezing phase is then carried out rapidly from this elevated temperature to the desired low freeze-drying temperature.
- 10
- 15 2. Process according to Claim 1, characterised in that the vials containing the solutions are warmed to from 30° to 60°C in the freeze drier.
- 20 3. Process according to Claim 1 or 2, characterised in that lyophilisates are obtained which can be reconstituted in a particle-free manner.
- 25
- 30 4. Process according to one of Claims 1 to 3, characterised in that lyophilisates of the substance 2-methyl-5-methylsulfonyl-4-(1-pyrrolyl)benzoylguanidine methanesulfonate, N-[2-methyl-4,5-bis-(methylsulfonyl)benzoyl]guanidine hydrochloride or 4-isopropyl-3-methylsulfonylbenzoylguanidine methanesulfonate are prepared.
- 35 5. Lyophilisates having an improved dissolution rate, characterised in that, in the preparation of the lyophilisates, the corresponding solutions already drawn off for lyophilisation, which have, if

09/889930-100301

Sul
R1

5

Al
Cont

necessary, previously been warmed in order to accelerate dissolution of the substance, filtered or sterile-filtered and drawn off, are re-warmed to from 30° to 95°C directly in the vials in the freeze drier, and the freezing phase is then carried out rapidly from this elevated temperature to the desired low freeze-drying temperature.

10

6. Lyophilisates of the substance 2-methyl-5-methylsulfonyl-4-(1-pyrrolyl)benzoylguanidine methanesulfonate having improved reconstitutability, characterised in that, in the preparation of the lyophilisates, the corresponding solutions already drawn off for lyophilisation, which have, if necessary, previously been warmed in order to accelerate dissolution of the substance, filtered or sterile-filtered and drawn off, are re-warmed to from 30° to 95°C directly in the vials in the freeze drier, and the freezing phase is then carried out rapidly from this elevated temperature to the desired low freeze-drying temperature.

15

20

25

30

7. Lyophilisates of the substance N-[2-methyl-4,5-bis(methylsulfonyl)-benzoyl]guanidine hydrochloride having improved reconstitutability, characterised in that, in the preparation of the lyophilisates, the corresponding solutions already drawn off for lyophilisation, which have, if necessary, previously been warmed in order to accelerate dissolution of the substance, filtered or sterile-filtered and drawn off, are re-warmed to from 30° to 95°C directly in the vials in the freeze drier, and the freezing phase is then carried out rapidly from this elevated temperature to the desired low freeze-drying temperature.

35

8. Lyophilisates of the substance 4-isopropyl-3-methylsulfonyl-benzoylguanidine methanesulfonate having improved reconstitut-

09889930-100301

ability, characterised in that, in the preparation of the lyophilisates, the corresponding solutions already drawn off for lyophilisation, which have, if necessary, previously been warmed in order to accelerate dissolution of the substance, filtered or sterile-filtered and drawn off, are re-warmed to from 30° to 95°C directly in the vials in the freeze drier, and the freezing phase is then carried out rapidly from this elevated temperature to the desired low freeze-drying temperature.

9. Pharmaceutical preparation comprising at least one lyophilisate according to Claims 5-8.

09889930-100301

5

10

Sub
A2

15

A3

20

25

A1

30

35